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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
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NEWS	3	JUN	06	KOREAPAT updated with 41,000 documents
NEWS	4	JUN	13	USPATFULL and USPAT2 updated with 11-character
				patent numbers for U.S. applications
NEWS	5	JUN	19	CAS REGISTRY includes selected substances from web-based collections
NEWS	6	JUN	25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS	7	JUN	30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	8	JUN	30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated
NEWS	9	JUN	30	organizations STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	10	JUN	3.0	STN AnaVist enhanced with database content from EPFULL
NEWS		JUL		CA/CAplus patent coverage enhanced
NEWS		JUL		EPFULL enhanced with additional legal status
_				information from the epoline Register
NEWS	13	JUL	28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS		JUL		STN Viewer performance improved
NEWS		AUG		INPADOCDB and INPAFAMDB coverage enhanced
NEWS		AUG		CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	17	AUG	15	CAOLD to be discontinued on December 31, 2008
NEWS		AUG		CAplus currency for Korean patents enhanced
NEWS		AUG		CAS definition of basic patents expanded to ensure
			_ ,	comprehensive access to substance and sequence information
NEWS	20	SEP	18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	21	SEP	25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of
NEWS	22	SEP	26	exemplified prophetic substances WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS	23	SEP	29	IFICLS enhanced with new super search field
NEWS		SEP		EMBASE and EMBAL enhanced with new search and display fields
NEWS	25	SEP	30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS	26	OCT	07	EPFULL enhanced with full implementation of EPC2000
NEWS		OCT		Multiple databases enhanced for more flexible patent number searching

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

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ENTRY SESSION
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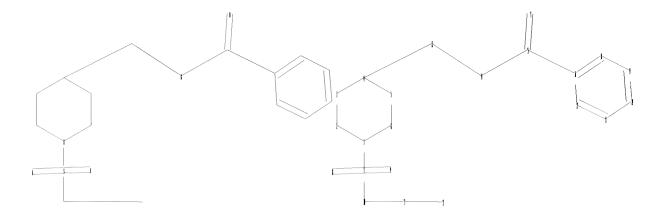
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chain nodes :

7 8 9 10 11 12 13 14 15 17

ring nodes :

1 2 3 4 5 6 16 18 19 20 21 22

chain bonds :

1-7 4-13 7-8 7-9 7-10 10-11 11-12 13-14 14-15 15-16 15-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-18 16-22 18-19 19-20 20-21 21-22

exact/norm bonds :

 $1-2 \quad 1-6 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-9 \quad 7-10 \quad 13-14 \quad 14-15 \quad 15-17$

exact bonds :

4-13 10-11 11-12 15-16

normalized bonds :

16-18 16-22 18-19 19-20 20-21 21-22

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom

L1 STRUCTURE UPLOADED

=> s 11 sss sam

SAMPLE SEARCH INITIATED 16:14:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:14:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 532 TO ITERATE

100.0% PROCESSED 532 ITERATIONS 178 ANSWERS

SEARCH TIME: 00.00.01

L3 178 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 16:14:15 ON 15 OCT 2008
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FILE COVERS 1907 - 15 Oct 2008 VOL 149 ISS 16 FILE LAST UPDATED: 14 Oct 2008 (20081014/ED)

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Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s 13

L4 11 L3

=> d ibib abs hitstr 1-11

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:509742 CAPLUS

DOCUMENT NUMBER: 146:500900

TITLE: Preparation of piperidine glycine transporter

inhibitors

INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth

M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg,

Scott E.; Nolt, Brad M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2007053400
                          A2
                                20070510
                                            WO 2006-US41699
                                                                    20061027
     WO 2007053400
                          A3
                                20070920
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            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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                                20070510
                                           AU 2006-309050
                                                                    20061027
     AU 2006309050
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     CA 2627177
                                20070510
                                            CA 2006-2627177
                                                                    20061027
                          Α1
     EP 1942893
                                            EP 2006-826685
                          A2
                                20080716
                                                                    20061027
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                                              P 20051028
PRIORITY APPLN. INFO.:
                                            US 2005-731010P
                                                                W 20061027
                                            WO 2006-US41699
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OTHER SOURCE(S):

MARPAT 146:500900

AΒ The title compds. I [R1 = (CH2)nR1a (wherein n = 0-6; R1a = 0.0](un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R2 = (un)substituted Ph, heterocyclyl, cycloalkyl, etc.; R3 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5 = H, alkyl; or R4 and R5taken together form a cycloalkyl ring; A = O, NR10 (R10 = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [14C]glycine, generally with an IC50 value of less than about 10 $\mu M.$ Pharmaceutical composition comprising the compound I is disclosed. 936481-32-2P 936481-37-7P 936481-39-9P IT936481-41-3P 936481-42-4P 936481-43-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperidine glycine transporter inhibitors) RN 936481-32-2 CAPLUS

Benzamide, 2,4-dichloro-N-[[1'-(propylsulfonyl)[1,4'-bipiperidin]-4'-CN yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Pr-n \\ C-NH-CH_2 & O \\ \end{array}$$

RN 936481-37-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 936481-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-1-piperazinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ N \\ & \\ \text{CH}_2 - \text{NH} - \text{C} \\ & \\ & \\ \text{C1} \\ \end{array}$$

RN 936481-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 936481-42-4 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & & \\ C-NH-CH_2 & & & \\ O-CF_3 & & & \\ \end{array}$$

RN 936481-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410347 CAPLUS

DOCUMENT NUMBER: 146:421847

TITLE: Preparation of radiolabeled benzoic acid

piperidinylalkylamide GlyT1 glycine transporter

inhibitors for diagnostic imaging

INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig

W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 30pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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	TENT				KIND DATE APPLICATION NO.												
WO	2007 2007		2007	0412					20060925								
	W: AE, AG, AL,							BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	MΖ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
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	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
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		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑP,	EA,	EP,	OA						
EP	1942	733			A2		2008	0716		EP 2	006-	8151	87		2	0060	925
	R:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
PRIORIT	RIORITY APPLN. INFO.:									US 2	005-	7217	82P]	P 2	0050	929
									,	WO 2	006-	US36	989	1	W 20060925		
OTHER SO	THER SOURCE(S):																

AB Title compds. (I; A = N, CH; R2a, R2b = H, F, C1, Br; R3 = alkyl,
 fluoroalkyl; R4 = H, alkyl; 1 of X, Y = 18F, O11CH3, OCD218F, the other =
 H), were prepared Thus, title compound (II) was prepared by treatment of the
 corresponding phenol derivative with a product prepared from [18F]F- and CD2Br2
 in the presence of Cs2CO3 in DMF at 100°.
IT 934200-18-7P 934200-19-8P 934200-20-1P
 934200-21-2P
 RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation); USES (Uses)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-18-7 CAPLUS

CN Benzamide, 2-fluoro-6-(fluoro-18F-methoxy-d2)-N-[(1S)-1-[4-phenyl-1-1]]

(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-19-8 CAPLUS

CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-20-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[3-(methoxy-11C)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 934200-21-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(fluoro-18F)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & \\ C- NH - CH_2 & \\ & N \\ & \\ 18_F \end{array}$$

IT 934200-22-3 934200-23-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-22-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-23-4 CAPLUS

CN Benzamide, 2,6-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 866559-78-6P 866559-80-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridiny1)-1-(propylsulfony1)-4-

piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S-Pr-n \\ \hline \\ C-NH-CH_2 & N \\ \hline \\ C1 & \\ \end{array}$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ & S - Pr - n \\ C - NH - CH_2 & OH \\ \end{array}$$

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:344575 CAPLUS

DOCUMENT NUMBER: 146:492593

TITLE: Design, synthesis, and in vivo efficacy of glycine

transporter-1 (GlyT1) inhibitors derived from a series
of [4-phenyl-1-(propylsulfonyl)piperidin-4-yl]methyl

benzamides

AUTHOR(S): Lindsley, Craig W.; Zhao, Zhijian; Leister, William

H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Chen, Tsing-Bau; Chang, Raymond S. L.; Burno, Maryann; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Douglas J.; Tiller, Philip R.; Smith, Sheri; Tsou, Nancy N.; Duggan, Mark E.; Conn,

P. Jeffrey; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled

Synthesis Group, Merck Research Laboratories, West

Point, PA, 19486, USA

SOURCE: ChemMedChem (2006), 1(8), 807-811

CODEN: CHEMGX; ISSN: 1860-7179

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:492593

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Ι

AB An iterative analog library synthesis approach was employed to develop SAR for the title compds. Analog I was thus identified as a novel, centrally active GlyT1 inhibitor. I enhanced prepulse inhibition in a rodent behavioral model sensitive to antipsychotic treatment.

IT 852029-09-5P 852029-12-0P 852029-23-3P 852029-28-8P 852029-36-8P 852029-37-9P 852029-44-8P 852029-47-1P 852029-48-2P 852029-50-6P 936101-97-2P 936101-98-3P 936101-99-4P 936102-00-0P 936102-01-1P 936102-02-2P 936102-03-3P 936102-04-4P 936102-05-5P 936102-06-6P 936102-07-7P 936102-08-8P 936102-09-9P 936102-10-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)
852029-09-5 CAPLUS
Paggarida 2-shloro-N-[[4-phopyl-1-(propylsylfopyl)-4-piperidinyllmethyl]

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN

RN 852029-12-0 CAPLUS
CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 - & O \\ \hline Ph & O \end{array}$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ C - NH - CH_2 - & \\ O - CF_3 \end{array}$$

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{O} \\ \text{||} \\ \text{Ph-C-NH-CH}_2 & \text{N} & \text{O} \\ \\ \text{Ph} & \text{O} \end{array}$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & NH2 & & & & & \\ & & S-Pr-n \\ & & & & \\ & & C-NH-CH_2 \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH_2 & O & \\ & S \\ \hline \\ C \\ C1 \end{array}$$

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936101-97-2 CAPLUS

CN Benzamide, 2,4-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline & O \\ S - Pr - n \\ \hline & C - NH - CH_2 - Ph \\ \end{array}$$

RN 936101-98-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \text{S} & \text{S-Pr-n} \\ \text{C} & \text{NH-CH}_2 & \text{Ph} \\ \end{array}$$

RN 936101-99-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-00-0 CAPLUS

CN Benzamide, 2-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-01-1 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-02-2 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-03-3 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-04-4 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-05-5 CAPLUS

CN Benzamide, 2-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-06-6 CAPLUS

CN Benzamide, 2-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-07-7 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-08-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-09-9 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-10-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 266341-42-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S-Pr-n \\ \hline & C-NH-CH_2 & O \\ \hline & OMe & Ph \end{array}$$

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1190066 CAPLUS

DOCUMENT NUMBER: 146:142582

TITLE: Synthesis and SAR of GlyT1 inhibitors derived from a

series of N-((4-(morpholine-4-carbonyl)-1-

(propylsulfonyl)piperidin-4-yl)methyl)benzamides Zhao, Zhijian; O'Brien, Julie A.; Lemaire, Wei; AUTHOR(S):

Williams, David L.; Jacobson, Marlene A.; Sur,

Cyrille; Pettibone, Doug J.; Tiller, Philip R.; Smith,

Sheri; Hartman, George D.; Wolkenberg, Scott E.;

Lindsley, Craig W.

Department of Medicinal Chemistry, Merck and Co., CORPORATE SOURCE:

Inc., West Point, PA, 19486, USA

Bioorganic & Medicinal Chemistry Letters (2006), SOURCE:

16(23), 5968-5972

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

CASREACT 146:142582 OTHER SOURCE(S):

GΙ

The synthesis and SAR of potent and selective non-sarcosine-derived GlyT1 AΒ inhibitors is described. A library of

N-((4-(morpholine-4-carbonyl)-1-(propylsulfonyl)piperidin-4yl)methyl)benzamides was constructed using amidation as the key step. Some compds., e.g., I, displayed promising GlyT1 inhibitory activity.

ΙT 919284-93-8P 919284-94-9P

> RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, GlyT1 inhibitory activity and SAR of [morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides starting from N-Boc cyanopiperidine using amidation as key steps)

919284-93-8 CAPLUS RN

Benzamide, 2, 4-dichloro-N-[(1R)-1-[4-(4-morpholinylcarbonyl)-1-CN (propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Ι

RN 919284-94-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

869463-15-0P 869463-16-1P 919284-71-2P ΙT 919284-72-3P 919284-73-4P 919284-74-5P 919284-75-6P 919284-76-7P 919284-77-8P 919284-80-3P 919284-81-4P 919284-82-5P 919284-83-6P 919284-84-7P 919284-85-8P 919284-86-9P 919284-87-0P 919284-88-1P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, GlyT1 inhibitory activity and SAR of [morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides starting from N-Boc cyanopiperidine using amidation as key steps) RN869463-15-0 CAPLUS CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

RN 869463-16-1 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-71-2 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N,N-dimethyl-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-72-3 CAPLUS

 (propylsulfonyl) - (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \text{S} - \text{Pr-n} \\ \text{C} - \text{NH-CH}_2 & \text{O} \\ \text{C} - \text{NHEt} \\ \text{O} \\ \end{array}$$

RN 919284-73-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[[3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-74-5 CAPLUS

CN 4-Piperidinecarboxamide, N-cyclopropyl-4-[[(2,4-dichlorobenzoyl)amino]methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-75-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[(4-methyl-1-piperazinyl)carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-76-7 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N-[(3-methyl-3-oxetanyl)methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-77-8 CAPLUS

CN Benzamide, N-[[4-[(3-amino-1-azetidinyl)carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 919284-80-3 CAPLUS

CN Benzamide, N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-81-4 CAPLUS

CN Benzamide, N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 919284-82-5 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-83-6 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

RN 919284-84-7 CAPLUS

CN Benzamide, 4-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 919284-85-8 CAPLUS

CN Benzamide, 3-chloro-2,6-difluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-86-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-87-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-88-1 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 919284-68-7P 919284-69-8P 919284-70-1P 919284-90-5P 919284-91-6P 919284-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, GlyT1 inhibitory activity and SAR of

[morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides

starting from N-Boc cyanopiperidine using amidation as key steps)

RN 919284-68-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[(phenylmethoxy)methyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-69-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(hydroxymethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-70-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-90-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-[(phenylmethoxy)methyl]-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 919284-91-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(hydroxymethyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 919284-92-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[1-[(2,4-dichlorobenzoyl)amino]ethyl]-1-(propylsulfonyl)- (CA INDEX NAME)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1093266 CAPLUS

DOCUMENT NUMBER: 145:432223

TITLE: Method of treating schizophrenia prodrome

 ${\tt INVENTOR}({\tt S}): \\ {\tt Woods}, \; {\tt Scott} \; {\tt W}.$

PATENT ASSIGNEE(S): Yale University, USA SOURCE: PCT Int. Appl., 64pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT :	NO.			KIN	KIND DATE APPLICATION NO.							DATE				
_	2006 2006	_				2006 2007			WO 2006-US13444 200604							411	
	₩:	CN, GE, KZ, MZ, SG,	CO, GH, LC, NA, SK,	CR, GM, LK, NG, SL,	CU, HR, LR, NI,	CZ, HU, LS, NO, SY,	AU, DE, ID, LT, NZ, TJ,	DK, IL, LU, OM,	DM, IN, LV, PG,	DZ, IS, LY, PH,	EC, JP, MA, PL,	EE, KE, MD, PT,	EG, KG, MG, RO,	ES, KM, MK, RU,	FI, KN, MN, SC,	GB, KP, MW, SD,	GD, KR, MX, SE,
	RW:	AT, IS, CF, GM,	BE, IT, CG, KE,	BG, LT, CI, LS,	CH, LU, CM,	CY, LV, GA, MZ,	CZ, MC, GN, NA, TM	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
AU	2006	,	,	,	,	,		1019	AU 2006-235400						20060411		
_	2602 1871	-						-		-			-				
	R:	IS,		LI,	LT,		CZ, LV,										
JP RIORIT			2008	0904		US 2	008- 005- 006-	6706	00P	•	P 2	0060 0050 0060	411				

OTHER SOURCE(S): MARPAT 145:432223

AB The present invention relates to a method of treating schizophrenia prodrome in human subjects using a NMDA glycine site agonist, a glycine transporter-1 inhibitor or mixts. thereof, optionally in combination with a pharmaceutically acceptable additive, carrier or excipient.

IT 852029-09-5

L4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of treating schizophrenia prodrome with NMDA glycine agonist and glycine transporter-1 inhibitor)

RN 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

ACCESSION NUMBER: 2006:342953 CAPLUS

DOCUMENT NUMBER: 144:369920

TITLE: Cyclopropyl piperidine glycine transporter inhibitors

for treatment of neurological and psychiatric

disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Wolkenberg,

Scott E.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P A	rent :	NO.			KIN		DATE		APPLICATION NO.						DATE					
		A2 20060413 A3 20060908					WO 2	2005-	US34	301		2	0050	926						
	W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LC, LK, LR, NA, NG, NI, SK, SL, SM, YU, ZA, ZM,			AL, CR, GM, LR, NI, SM,	AM, CU, HR, LS, NO, SY,	AT, CZ, HU, LT, NZ,	AU, DE, ID, LU, OM,	AZ, DK, IL, LV, PG,	DM, IN, LY, PH,	DZ, IS, MA, PL,	EC, JP, MD,	EE, KE, MG, RO,	EG, KG, MK, RU,	ES, KM, MN, SC,	FI, KP, MW, SD,	GB, KR, MX, SE,	GD, KZ, MZ, SG,			
	RW:	AT, IS, CF, GM,	BE, IT, CG,	BG, LT, CI, LS,	CH, LU, CM, MW,	LV, GA, MZ,	MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	, RO, , MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,			
AU	U 2005292323							0413		AU 2	2005-	2923:	23		2	0050	KR, KZ, MX, MZ, SE, SG, VC, VN, HU, IE, BF, BJ, BW, GH, AZ, BY, 050926 050926 050926 050926 070314 070328 070329			
EP	1797	035			A2	2007	0620	EP 2005-801197						20050926						
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,			
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	, PT,	RO,	SE,	SI,	SK,	TR				
CN	1010	3154	7		A		2007	0905		CN 2005-80033117 20050926 JP 2007-534679 20050926										
JP	2008	5147	05		T		2008	0508		JP 2	2007-	5346	79		2	0050	926			
	2007																			
	US 20080108663																			
	MX 200703816																			
	KR 2007058565																			
					Α		2007	0427			2007-									
PRIORIT	Y APP	LN.	INFO						US 2 WO 2	2004- 2005-1	6149 US34	42P 301		P 2 W 2	20040930 20050926					

MARPAT 144:369920

Ι

$$\begin{array}{c|c}
R^3 & R^4 & 0 \\
N & (A)_m & R^1
\end{array}$$

$$\begin{array}{c|c}
0 & S = 0 \\
R^2 & R^2
\end{array}$$

OTHER SOURCE(S):

GΙ

- The present invention is directed to cyclopropyl piperidine compds. (I; R1 = substituted Ph, substituted heterocycle, (un)substituted C1-8 alkyl, (un)substituted C3-6 cycloalkyl; R2 = (un)substituted C1-6 alkyl, (un)substituted C3-6 cycloalkyl; R3,R4 = H, (un)substituted C1-6 alkyl; A = 0, NR5; R5 = H, (un)substituted C1-6 alkyl, (un)substituted C3-6 cycloalkyl, benzyl, phenyl; m = 0, 1) that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.
- IT 882034-97-1P 882034-98-2P 882035-07-6P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopropyl piperidine compds. as glycine transporter inhibitors for treatment of neurol. and psychiatric disorders)

RN 882034-97-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 882034-98-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-fluoro- (CA INDEX NAME)

RN 882035-07-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1220538 CAPLUS

DOCUMENT NUMBER: 143:472603

DOCUMENT NUMBER: 143:472003

TITLE: Morpholinyl piperidine derivative glycine transporter

GlyT1 inhibitors, their preparation/., and their use

for treatment of neurological and psychiatric

disorders

INVENTOR(S): Lindsley, Craig W.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT :	NO.			KIND DATE				2	APPL	ICAT	ION 1	DATE					
	2005 2005	A2 A3		2005	1117	1	WO 2	005-	US15	134								
	W: AE, AG, AL,		AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KΡ,	KR,	KΖ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
		NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	
		SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	
	ZM, ZW																	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
US	US 20070249606						2007	1025	1	US 2	006-	5792	34		2	0061	030	
PRIORIT	Y APP	LN.	INFO	.:					1	US 2	004-	5682	01P]	P 20	0040	505	
									1	WO 2	005-	US15	134	Ţ	W 20050429			

Ι

RN

CN

AB The invention discloses morpholinyl piperidine compds. that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. Preparation of I is described.

IT 869463-15-0P 869463-16-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(morpholinyl piperidine derivative glycine transporter GlyT1 inhibitor preparation and use for treatment of neurol. and psychiatric disorders) 869463-15-0 CAPLUS

Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 869463-16-1 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103490 CAPLUS

DOCUMENT NUMBER: 143:386922

TITLE: Preparation of heteroaryl-substituted piperidine

glycine transporter inhibitors for the treatment of

psychiatric disorders

INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David;

Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAI	ENT	NO.			KIND DATE					APPL	ICAT:	ION 1		DATE				
WO 2005094514 WO 2005094514							20051013 20060420			WO 2	005-1	JS98	10		20050323			
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	${ m TZ}$,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
ΑU	2005	2281	33		A1		2005	1013		AU 2	005-	2281		20	0050	323		
	2560						2005									0050		
EP	1729	772			A2		2006	1213		EP 2	005-	7261	05		2	0050	323	
	R:						CZ,										ΙE,	
							MC,									LV		
	1933									CN 2005-80009593					20050323			
JP 2007530576				T		2007	1101	i	JP 2	007-	5051	67		20050323				

IN 2006CN03155 20070608 IN 2006-CN3155 20060831 Ά US 20070254880 US 2007-593950 20070510 A 1 20071101 PRIORITY APPLN. INFO .: US 2004-555925P Р 20040324 WO 2005-US9810 20050323 W

Ι

ΙI

OTHER SOURCE(S):

CASREACT 143:386922; MARPAT 143:386922

GΙ

Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un)substituted Ph, AΒ heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A = O, (un)substituted N; m = 0-1] are prepared For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO2Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. ΙT

866559-77-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-77-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ C - NH - CH_2 & O \\ & &$$

ΙT 866558-67-0P 866558-68-1P 866558-69-2P 866558-71-6P 866558-72-7P 866558-73-8P 866558-74-9P 866558-75-0P 866558-76-1P 866558-77-2P 866558-78-3P 866558-79-4P 866558-80-7P 866558-81-8P 866558-82-9P 866558-83-0P 866558-84-1P 866558-85-2P 866558-86-3P 866558-87-4P 866558-93-2P 866558-94-3P 866558-95-4P 866558-96-5P 866558-99-8P 866559-00-4P 866559-01-5P 866559-02-6P 866559-10-6P 866559-11-7P 866559-12-8P 866559-13-9P 866559-14-0P 866559-15-1P 866559-16-2P 866559-17-3P 866559-29-7P 866559-30-0P 866559-31-1P 866559-32-2P 866559-45-7P 866559-46-8P 866559-48-0P 866559-49-1P 866559-50-4P 866559-54-8P 866559-55-9P 866559-56-0P 866559-57-1P 866559-59-3P 866559-62-8P 866559-64-0P 866559-71-9P 866559-75-3P 866559-76-4P 866559-78-6P 866559-79-7P 866559-80-0P 866559-81-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 866558-67-0 CAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

inhibitors for treatment of psychiatric disorders)

(preparation of heteroaryl-substituted piperidine glycine transporter

RN 866558-68-1 CAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline \\ S - Pr - n \\ \hline \\ C - NH - CH_2 \\ \hline \\ C1 & N \\ \end{array}$$

● HCl

RN 866558-69-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & &$$

RN 866558-71-6 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866558-72-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 866558-73-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-74-9 CAPLUS

CN Benzamide, 2-bromo-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ \hline \\ C - NH - CH_2 & & \\ \\ Br & & \\ \end{array}$$

RN 866558-75-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-76-1 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 866558-77-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-78-3 CAPLUS

CN Benzamide, 2-fluoro-6-methoxy-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-79-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-80-7 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-(trifluoromethyl)- (CA INDEX NAME)

RN 866558-81-8 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-82-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866558-83-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-84-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\$$

RN 866558-85-2 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-86-3 CAPLUS

CN Benzamide, 4-chloro-2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866558-87-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-93-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & C - NH - CH_2 & O \\ & & O \\ \end{array}$$

RN 866558-94-3 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-95-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & & \\ C1 & O & \\ & & \\ \end{array}$$

RN 866558-96-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-99-8 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-00-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN

866559-01-5 CAPLUS Benzamide, 2,4,5-trifluoro-N-[[4-(6-methoxy-2-pyridiny1)-1-CN (propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

866559-02-6 CAPLUS RN

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 866559-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-11-7 CAPLUS

CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 866559-12-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-13-9 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-14-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-15-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-16-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-17-3 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-29-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-30-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 866559-31-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN

866559-32-2 CAPLUS
Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-CN 4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-45-7 CAPLUS

Benzamide, 2,4-dichloro-N-[[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-CN piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & S-Pr-n \\ \hline \\ C-NH-CH_2 & Me \end{array}$$

RN 866559-46-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & S - Pr - n \\ & & \\ C1 & & \\$$

RN 866559-48-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-49-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & S - Pr - n \\ & & & \\ C1 & & & \\ \end{array}$$

RN 866559-50-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridiny1)-1-(propylsulfony1)-4-piperidiny1]methy1]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ C - NH - CH_2 & O \\ & O \end{array}$$

RN 866559-54-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyrazinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\
 & N \\
 & CH_2 - NH - C
\end{array}$$

RN 866559-55-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-56-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropy1)sulfony1]-4-(2-pyridiny1)-4-piperidiny1]methy1]- (CA INDEX NAME)

C1
$$C = NH - CH_2$$
 $N = CH_2$ N

RN 866559-57-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropy1)sulfony1]-4-(3-fluoro-2-pyridiny1)-4-piperidiny1]methy1]- (CA INDEX NAME)

RN 866559-59-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Pr-n \\ C-NH-CH_2 & & \\ \end{array}$$

RN 866559-62-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-64-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866559-71-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & &$$

RN 866559-75-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-76-4 CAPLUS

CN Benzamide, N-[[4-(3-bromo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & N \\ \hline \\ C1 & \\ \end{array}$$

RN 866559-79-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & S - Pr - n \\ & C - NH - CH_2 & & O \\ & & NH & O \\ \end{array}$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S & Pr-n \\ \hline & C-NH-CH_2 & OH \\ \end{array}$$

RN 866559-81-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:451128 CAPLUS

DOCUMENT NUMBER: 142:476263

TITLE: 4-Phenylpiperidine derivative glycine transporter

inhibitors for the treatment of neurological and

psychiatric disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APP1	LICAT		DATE				
	WO 2005046601 WO 2005046601			A2				WO 2004-US37359						20041110				
		₩:	CN, GE, LK,	CO, GH, LR,	CR, GM, LS,	CU, HR, LT,	CZ, HU, LU,	DE, ID, LV,	DK, IL, MA,	DM, IN, MD,	DZ, IS, MG,	BG, EC, JP, MK, SC,	EE, KE, MN,	EG, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NA,	GD, LC, NI,
		R₩:	BW, AZ, EE, SE,	GH, BY, ES,	GM, KG, FI, SK,	KE, KZ, FR, TR,	LS, MD, GB,	MW, RU, GR,	MZ, TJ, HU,	NA, TM, IE,	SD, AT, IS,	, UZ, , SL, , BE, , IT, , CM,	SZ, BG, LU,	TZ, CH, MC,	UG, CY, NL,	ZM, CZ, PL,	ZW, DE, PT,	AM, DK, RO,
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PRIOF	US 20070105902 PRIORITY APPLN. INFO.:										US 2	2003- 2004-	5193	48P]	P 2		112
0.000			101					1 40	4000	~ ~								

OTHER SOURCE(S): MARPAT 142:476263

AB The invention discloses 4-phenylpiperidine derivs. that inhibit the glycine transporter GlyTl and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine

transporter GlyT1 is involved. Compound preparation is described. 852029-09-5P 852029-11-9P 852029-12-0P ΤТ 852029-13-1P 852029-16-4P 852029-17-5P 852029-18-6P 852029-21-1P 852029-22-2P 852029-23-3P 852029-24-4P 852029-25-5P 852029-26-6P 852029-27-7P 852029-28-8P 852029-31-3P 852029-32-4P 852029-33-5P 852029-34-6P 852029-35-7P 852029-36-8P 852029-37-9P 852029-38-0P 852029-39-1P 852029-40-4P 852029-41-5P 852029-42-6P 852029-43-7P 852029-44-8P 852029-46-0P 852029-47-1P 852029-48-2P 852029-49-3P 852029-50-6P 852029-51-7P 852029-52-8P 852029-53-9P 852029-54-0P 852029-55-1P 852029-56-2P 852029-57-3P 852029-58-4P 852029-59-5P 852029-60-8P 852029-61-9P 852029-62-0P 852029-63-1P 852029-64-2P 852029-65-3P 852029-66-4P 852029-67-5P 852029-68-6P 852029-69-7P 852029-70-0P 852029-71-1P 852029-72-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phenylpiperidine derivative glycine transporter inhibitors for treatment of neurol, and psychiatric disorders) RN 852029-09-5 CAPLUS CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 852029-11-9 CAPLUS
CN Benzamide, 4-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ C - NH - CH_2 - & \\ Ph & \\ \end{array}$$

RN 852029-12-0 CAPLUS
CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

RN 852029-13-1 CAPLUS

CN Benzamide, 2-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S-\text{Pr-n} \\ \parallel & \\ C-\text{NH-CH}_2 & \\ \text{Ph} \end{array}$$

RN 852029-16-4 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline & S \\ \hline & C \\ F & \end{array}$$

RN 852029-17-5 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 852029-18-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 852029-21-1 CAPLUS

CN Benzamide, 2,3-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S-Pr-n \\ \hline C-NH-CH_2 & & O \\ \hline Ph & & O \end{array}$$

RN 852029-22-2 CAPLUS

CN Benzamide, 3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 & & Ph \\ \end{array}$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-24-4 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-25-5 CAPLUS

CN Benzamide, 2-(difluoromethoxy)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ C - NH - CH_2 & & \\ O - CHF_2 & & \\ \end{array}$$

RN 852029-26-6 CAPLUS

CN Benzamide, 2,5-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & O \\ \parallel & & & S-Pr-n \\ \hline & & & & O \\ \hline & & & & C-NH-CH_2 \\ \hline & & & & Ph \\ \hline & & & & C1 \\ \end{array}$$

RN 852029-27-7 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & & \\ & S-Pr-n \\ \hline & C-NH-CH_2 & \\ & Ph & \\ \end{array}$$

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-31-3 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ & S \\ \hline C - NH - CH_2 \\ \hline \end{array}$$

RN 852029-32-4 CAPLUS

CN Benzamide, 2-chloro-6-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & & & \\ & & S-Pr-n \\ \hline & C-NH-CH_2 & & O \\ \hline & & Ph & \\ \end{array}$$

RN 852029-33-5 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & & \\ \end{array}$$

RN 852029-34-6 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-35-7 CAPLUS

CN Benzamide, 2-bromo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & \parallel & \\ S - \text{Pr-n} \\ \hline & C - \text{NH-CH}_2 \end{array}$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & NH2 & & & & \\ & & S-Pr-n \\ \hline & & & C-NH-CH2 \\ & & & & Ph \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-38-0 CAPLUS

CN Benzamide, 2-amino-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4- (trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & NH2 & O & & & & \\ & S-Pr-n \\ \hline & C-NH-CH_2 & & & O \\ & & Ph & & O \\ \end{array}$$

RN 852029-39-1 CAPLUS

CN Benzamide, 2-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 852029-40-4 CAPLUS

CN Benzamide, 2-fluoro-6-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-41-5 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-42-6 CAPLUS

CN Benzamide, 2-[(difluoromethyl)thio]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-43-7 CAPLUS

CN Benzamide, 2,3-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-46-0 CAPLUS

CN Benzamide, 2,5-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-49-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} OH & O & \\ & & \\ C-NH-CH_2 & \\ & & \\ F & \end{array}$$

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-51-7 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-52-8 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-53-9 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-54-0 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-55-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-56-2 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(methylthio)- (CA INDEX NAME)

RN 852029-57-3 CAPLUS

CN Benzamide, N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-58-4 CAPLUS

CN Benzamide, 2-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-59-5 CAPLUS

CN Benzamide, 4-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-60-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-61-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-62-0 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-63-1 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-64-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-65-3 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-66-4 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-67-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-68-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

RN 852029-69-7 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NEt}_2 & \text{O} \\ & \text{CH}_2 & \text{S-Pr-n} \\ & \text{C-NH-CH}_2 & \text{O} \\ & \text{O} & \text{Ph} \end{array}$$

RN 852029-70-0 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-71-1 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-72-2 CAPLUS

CN Benzamide, 2-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:855758 CAPLUS

DOCUMENT NUMBER: 139:364829

TITLE: Preparation of heterocyclo inhibitors of potassium

channel function

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin;

Beaudoin, Serge; Gross, Michael F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.						KIN	D	DATE			APPLICATION NO.						DATE			
						_														
WO 2003088908					A2		2003	1030	WO 2003-US11807							20030416				
	WO 2003088908				А3		2004	0527)527											
		\mathbb{W} :	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,		
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			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		

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     AU 2003223651
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                          Α1
                                                                     20030416
                          A2
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                                             EP 2003-719792
     EP 1501467
                                                                     20030416
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                             JP 2003-585661
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     NO 2004004351
                          Α
                                 20041013
                                             NO 2004-4351
                                                                     20041013
PRIORITY APPLN. INFO.:
                                             US 2002-374279P
                                                                     20020419
                                             WO 2003-US11807
                                                                    20030416
                                                                 W
OTHER SOURCE(S):
                         MARPAT 139:364829
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GΙ

AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at]least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un) substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

ΙT 619277-83-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of substituted piperidines as inhibitors of potassium channel function)

619277-83-7 CAPLUS RN

Benzamide, 2-methoxy-N-[[1-(propylsulfonyl)-4-(2-thienyl)-4-CN piperidinyl]methyl]- (CA INDEX NAME)

ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314546 CAPLUS

DOCUMENT NUMBER: 132:321801

TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and

analogs as potassium channel inhibitors

INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew;

Parsons, William H.; Rupprecht, Kathleen M.;

Claiborne, Christopher F.; Liverton, Nigel; Claremon,

David A.; Thompson, Wayne J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE				APPI	ICAT	ION	DATE				
WO	TO 2000025786					A1 20000511			WO 1999-US25066					19991026			
											BR,					CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	ΙL,
		IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,
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		SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	ZW		
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US	6303	637			В1		2001	1016		US 1	1999-	4225	00		1	9991	021
CA 2348735							CA 1999-2348735						19991026				
CA	2348	735			С		2007	1211									
EP	1126	849			A1		2001	0829		EP 1	1999-	9551	69		1	9991	026
EP	1126	849			В1		2005	0309									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO										
JP 2002528503				T 20020903										9991			
AU 764515			B2 20030821			AU 2000-11338					19991026						
AT 290382				T 20050315													
ORITY APPLN. INFO.:											1998-						
										WO 1999-US25066					v 1	9991	026
HER SOURCE(S):					MARI	132:	3218	01									

GΙ

AB Title compds. [I; R1 = CH2NR10COR6; R2,R6 = (un)substituted Ph; R3,R4 = H, halo, alkyl, acyl, etc.; R10 = H, alkyl, acyl, etc.; Z = O, SOO-2, NR5; R5 = H, OH, alkyl, acyl, etc.; Z1,Z2 = bond, CH2, CH2CH2] were prepared as potassium channel inhibitors (no data). Thus, 4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated by 2-(MeO)C6H4COCl to give, after deprotection and Ac2O acylation, 2-(MeO)C6H4CONHCH2Z3Ac (Z3 = 4-phenylpiperidine-4,1-diyl).

IT 266341-42-8P 266341-43-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \hline O & & \\ C - NH - CH_2 - & \\ \hline OMe & & \\ \end{array}$$

RN 266341-43-9 CAPLUS

CN Benzamide, N-[[1-(butylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Bu - n \\ \hline C - NH - CH_2 - & O \\ \hline OMe & Ph \end{array}$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TOTAL

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